

PATENT COOPERATION TREATY

PCT

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY (Chapter II of the Patent Cooperation Treaty)

(PCT Article 36 and Rule 70)

RECEIVED	
28 APR 2004	
WIPO	PCT

Applicant's or agent's file reference 100617-1 WO	FOR FURTHER ACTION See Form PCT/IPEA/416	
International application No. PCT/SE2003/000041	International filing date (day/month/year) 14.01.2003	Priority date (day/month/year) 16.01.2002
International Patent Classification (IPC) or national classification and IPC C07D 241/22, 401/12, 403/04, 403/12, 405/12, 409/12, 413/04, 413/12, A61K 31/4965, A61P 11/06, 29/00		
Applicant AstraZeneca AB et al		

1. This report is the international preliminary examination report, established by this International Preliminary Examining Authority under Article 35 and transmitted to the applicant according to Article 36.
2. This REPORT consists of a total of 5 sheets, including this cover sheet.
3. This report is also accompanied by ANNEXES, comprising:
 - a. ☐ (sent to the applicant and to the International Bureau) a total of _____ sheets, as follows:

☐ sheets of the description, claims and/or drawings which have been amended and are the basis of this report and/or sheets containing rectifications authorized by this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions).
☐ sheets which supersede earlier sheets, but which this Authority considers contain an amendment that goes beyond the disclosure in the international application as filed, as indicated in item 4 of Box No. I and the Supplemental Box.
 - b. ☐ (sent to the International Bureau only) a total of (indicate type and number of electronic carrier(s)) _____, containing a sequence listing and/or tables related thereto, in computer readable form only, as indicated in the Supplemental Box Relating to Sequence Listing (see Section 802 of the Administrative Instructions).

4. This report contains indications relating to the following items:

<input checked="" type="checkbox"/>	Box No. I	Basis of the report
<input type="checkbox"/>	Box No. II	Priority
<input checked="" type="checkbox"/>	Box No. III	Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
<input type="checkbox"/>	Box No. IV	Lack of unity of invention
<input checked="" type="checkbox"/>	Box No. V	Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
<input type="checkbox"/>	Box No. VI	Certain documents cited
<input type="checkbox"/>	Box No. VII	Certain defects in the international application
<input type="checkbox"/>	Box No. VIII	Certain observations on the international application

Date of submission of the demand 12.08.2003	Date of completion of this report 20.04.2004
Name and mailing address of the IPEA/SE Patent- och registreringsverket Box 5055 S-102 42 STOCKHOLM Facsimile No. +46 8 667 72 88	Authorized officer Nebil Gecer/BS Telephone No. +46 8 782 25 00

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

International application No.

PCT/SE2003/000041

Box No. I Basis of the report

1. With regard to the **language**, this report is based on the international application in the language in which it was filed, unless otherwise indicated under this item.

☐ This report is based on a translation from the original language into the following language _____, which is the language of a translation furnished for the purposes of:

- ☐ international search (under Rules 12.3 and 23.1(b))
☐ publication of the international application (under Rule 12.4)
☐ international preliminary examination (under Rules 55.2 and/or 55.3)

2. With regard to the **elements** of the international application, this report is based on *(replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report)*:

☒ the international application as originally filed/furnished

☐ the description:

pages _____ as originally filed/furnished

pages* _____ received by this Authority on _____

pages* _____ received by this Authority on _____

☐ the claims:

pages _____ as originally filed/furnished

pages* _____ as amended (together with any statement) under Article 19

pages* _____ received by this Authority on _____

pages* _____ received by this Authority on _____

☐ the drawings:

pages _____ as originally filed/furnished

pages* _____ received by this Authority on _____

pages* _____ received by this Authority on _____

☐ a sequence listing and/or any related table(s) – see Supplemental Box Relating to Sequence Listing.

3. ☐ The amendments have resulted in the cancellation of:

☐ the description, pages _____

☐ the claims, Nos. _____

☐ the drawings, sheets/figs _____

☐ the sequence listing (*specify*): _____

☐ any table(s) related to the sequence listing (*specify*): _____

4. ☐ This report has been established as if (some of) the amendments annexed to this report and listed below had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).

☐ the description, pages _____

☐ the claims, Nos. _____

☐ the drawings, sheets/figs _____

☐ the sequence listing (*specify*): _____

☐ any table(s) related to the sequence listing (*specify*): _____

* If item 4 applies, some or all of those sheets may be marked "superseded."

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

International application No.

PCT/SE2003/000041

Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability

The questions whether the claimed invention appears to be novel, to involve an inventive step (to be non obvious), or to be industrially applicable have not been examined in respect of:

☐ the entire international application☒ claims Nos. 11-15

because:

☒ the said international application, or the said claims Nos. 11-15
relate to the following subject matter which does not require an international preliminary examination (*specify*):

See PCT Rule 67.1.(iv) : Methods for treatment of the human or animal body by surgery or therapy, as well as diagnostic methods.

☐ the description, claims or drawings (*indicate particular elements below*) or said claims Nos. _____
are so unclear that no meaningful opinion could be formed (*specify*):☐ the claims, or said claims Nos. _____ are so inadequately supported
by the description that no meaningful opinion could be formed.☐ no international search report has been established for said claims Nos. _____☐ the nucleotide and/or amino acid sequence listing does not comply with the standard provided for in Annex C of the
Administrative Instructions in that:

the written form

☐

has not been furnished

☐

does not comply with the standard

the computer readable form

☐

has not been furnished

☐

does not comply with the standard

☐ the tables related to the nucleotide and/or amino acid sequence listing, if in computer readable form only, do not comply with
the technical requirements provided for in the Annex C-*bis* of the Administrative Instructions.☐ See Supplemental Box for further details.

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

International application No.

PCT/SE2003/000041

Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

Novelty (N)	Claims	<u>6-10</u>	YES
	Claims	<u>1-5</u>	NO
Inventive step (IS)	Claims		YES
	Claims	<u>1-10</u>	NO
Industrial applicability (IA)	Claims	<u>1-10</u>	YES
	Claims		NO

2. Citations and explanations (Rule 70.7)

The following documents are cited in the international search report:

D1) WO 9526957 A1 (ZENECA LIMITED), 12 October 1995 (12.10.95), see page 55, step (i)

D2) STN International, File CAPLUS, CAPLUS accession no. 2000:34745, Document no. 132:93309, Bristol-Myers Squibb Bo.: "Preparation of N-isoxazolyyl biphenylsulfonamides and related compounds as dual angiotensin II and endothelin receptor antagonists"; & WO, A1, 2000001389, 20000113, see compound with CAS RN 25475-89-9

D3) GB 2295616 A (ZENECA LIMITED), 5 June 1996 (05.06.96), see particularly page 19, first paragraph; claim 3; examples

D4) STN International, File HCAPLUS, HCAPLUS accession no. 1982:484681: Document no. 97:84681, Kivman, G. Ya. et al: "Penetration of sulfanilamides into inflammatory foci"; & Khim.-Farm. Zh. (1982), 16(6), 665-7

D5) STN International, File CAPLUS, CAPLUS accession no. 1966:84579, Document no. 64:84579, Esche, J. et al: "Reaction products formed by bromometric titration of several sulfonamides of the pyridazine, pyrazine, and pyrazole series"; & Arch. Pharm. (1966), 299(2), 147-53

.../...

Supplemental Box

In case the space in any of the preceding boxes is not sufficient.

Continuation of: V

D1 discloses one compound that is within the claimed scope of protection according to claims 1-5. D2 also discloses a novelty-destroying compound within the claimed scope of protection according to claims 1-5. Therefore, the invention claimed in claims 1-5 lacks novelty. The subject-matter of the process in claim 7 is not considered to involve anything but matter obvious for a person skilled in the art.

The problem underlying the present invention is the provision of N-pyrazinyl-phenylsulphonamide compounds which are useful in the treatment of chemokine mediated diseases, particularly inflammatory diseases such as asthma.

D3 discloses very closely related N-pyrazinyl-benzenesulphonamide derivatives which are useful in the treatment of some of the diseases as intended in the present application, e.g. asthma. The claimed compounds according to formula (I) differ from exemplified prior art compounds of D3 only in the substitution pattern of the phenyl ring. Formula (I) according to the present claim 1 and formula (Ia) according to claim 3 of D3 are overlapping.

The problem to be solved by the present invention in the light of D3 is the provision of alternative N-pyrazinyl-phenylsulphonamide derivatives for the treatment of some of the diseases listed on page 14-page 16 of the present application. It has become common practice to synthesise analogues of bioactive compounds.

No inventive concept can be recognised in the mere provision of further analogues. The applicant has not shown that the claimed compounds have unexpected effects in comparison with the closely related prior art compounds of D3. It is considered obvious for a person skilled in the art to obtain the claimed compounds by modification of the prior art compounds of D3 and to investigate whether they show the same pharmacological effects. Therefore, the invention claimed in claims 1-10 is not considered to involve an inventive step.

D4 is also relevant to the issue of inventive step since it discloses a closely related compound (sulfalene (I)) which is useful in the treatment of inflammations.

D5 discloses structurally related compounds. This document only relates to the general state of the art and is not considered to be of particular relevance.